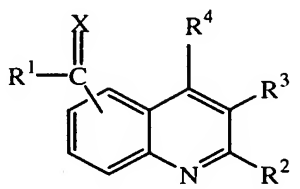
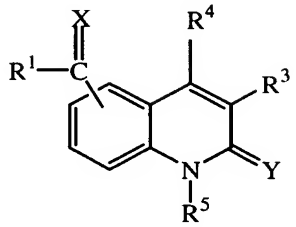
CLAIMS

1. A radiolabelled compound according to Formula (I-A)* or (I-B)*



(I-A)*



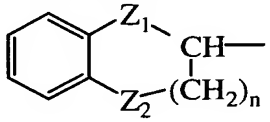
(I-B)*

an *N*-oxide form, a pharmaceutically acceptable addition salt, a quaternary amine and a stereochemically isomeric form thereof, wherein

X represents O; $C(R^6)_2$ with R^6 being hydrogen, aryl or C_{1-6}alkyl optionally substituted with amino or mono- or di(C_{1-6}alkyl)amino; S or N-R^7 with R^7 being amino or hydroxy;

R^1 represents C_{1-6}alkyl; aryl; thienyl; quinolinyl; cycloC_{3-12}alkyl or (cycloC_{3-12}alkyl)C_{1-6}alkyl, wherein the cycloC_{3-12}alkyl moiety optionally may contain a double bond and wherein one carbon atom in the cycloC_{3-12}alkyl moiety may be replaced by an oxygen atom or an NR^8-moiety with R^8 being hydrogen, benzyl or C_{1-6}alkyloxycarbonyl ; wherein one or more hydrogen atoms in a C_{1-6}alkyl-moiety or in a cycloC_{3-12}alkyl-moiety optionally may be replaced by C_{1-6}alkyl, hydroxyC_{1-6}alkyl, haloC_{1-6}alkyl, aminoC_{1-6}alkyl, hydroxy, C_{1-6}alkyloxy, arylC_{1-6}alkyloxy, halo, C_{1-6}alkyloxycarbonyl, aryl, amino, mono– or di(C_{1-6}alkyl)amino, C_{1-6}alkyloxycarbonylamino, halo, piperazinyl, pyridinyl, morpholinyl, thienyl or a bivalent radical of formula –O-, -O-CH_2-O or –O-CH_2-CH_2-O-;

or a radical of formula (a-1)



a-1

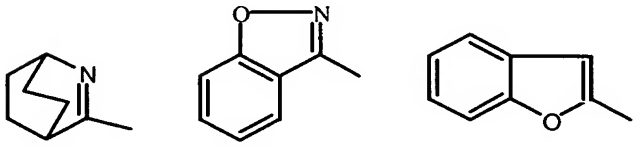
wherein Z_1 is a single covalent bond, O, NH or CH_2;

Z_2 is a single covalent bond, O, NH or CH_2;

n is an integer of 0, 1, 2 or 3;

and wherein each hydrogen atom in the phenyl ring independently may optionally be replaced by halo, hydroxy, C_{1-6}alkyl, C_{1-6}alkyloxy or hydroxyC_{1-6}alkyl;

or X and R^1 may be taken together with the carbon atom to which X and R^1 are attached to form a radical of formula (b-1), (b-2) or (b-3);



b-1 b-2 b-3

R^2 represents hydrogen; halo; cyano; C_{1-6}alkyl; C_{1-6}alkyloxy; C_{1-6}alkylthio; C_{1-6}alkylcarbonyl; C_{1-6}alkyloxycarbonyl; C_{1-6}alkylcarbonyloxyC_{1-6}alkyl; C_{2-6}alkenyl; hydroxyC_{2-6}alkenyl; C_{2-6}alkynyl; hydroxyC_{2-6}alkynyl; tri(C_{1-6}alkyl)silaneC_{2-6}alkynyl; amino; mono– or di(C_{1-6}alkyl)amino; mono– or di(C_{1-6}alkyloxyC_{1-6}alkyl)amino; mono– or di(C_{1-6}alkylthioC_{1-6}alkyl)amino; aryl; arylC_{1-6}alkyl; arylC_{2-6}alkynyl; C_{1-6}alkyloxyC_{1-6}alkylaminoC_{1-6}alkyl; aminocarbonyl optionally substituted with C_{1-6}alkyl, C_{1-6}alkyloxyC_{1-6}alkyl, C_{1-6}alkyloxycarbonylC_{1-6}alkyl or pyridinylC_{1-6}alkyl;

a heterocycle selected from thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, piperidinyl and piperazinyl, optionally N-substituted with C_{1-6}alkyloxyC_{1-6}alkyl, morpholinyl, thiomorpholinyl, dioxanyl or dithianyl ;

a radical –NH-C(=O)R^9 wherein R^9 represents

C_{1-6}alkyl optionally substituted with cycloC_{3-12}alkyl, C_{1-6}alkyloxy, C_{1-6}alkyloxycarbonyl, aryl, aryloxy, thienyl, pyridinyl, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, benzylthio, pyridinylthio or pyrimidinylthio;

cycloC_{3-12}alkyl; cyclohexenyl; amino; arylcycloC_{3-12}alkylamino; mono-or-di(C_{1-6}alkyl)amino; mono- or di(C_{1-6}alkyloxycarbonylC_{1-6}alkyl)amino; mono- or di(C_{1-6}alkyloxycarbonyl)amino; mono-or di(C_{2-6}alkenyl)amino; mono- or di(arylC_{1-6}alkyl)amino; mono- or diarylamino; arylC_{2-6}alkenyl; furanylC_{2-6}alkenyl; piperididinyl; piperazinyl; indolyl; furyl; benzofuryl; tetrahydrofuryl; indenyl; adamantyl; pyridinyl; pyrazinyl; aryl; arylC_{1-6}alkylthio or a radical of formula (a-1) ;

a sulfonamid -NH-SO_2-R^{10} wherein R^{10} represents C_{1-6}alkyl, mono- or poly haloC_{1-6}alkyl, arylC_{1-6}alkyl, arylC_{2-6}alkenyl, aryl, quinolinyl, isoxazolyl or di(C_{1-6}alkyl)amino;

R^3 and R^4 each independently represent hydrogen; halo; hydroxy; cyano; C_{1-6}alkyl; C_{1-6}alkyloxy; C_{1-6}alkyloxyC_{1-6}alkyl; C_{1-6}alkylcarbonyl; C_{1-6}alkyloxycarbonyl; C_{2-6}alkenyl; hydroxyC_{2-6}alkenyl; C_{2-6}alkynyl; hydroxyC_{2-6}alkynyl; tri(C_{1-6}alkyl)silaneC_{2-6}alkynyl; amino; mono– or di(C_{1-6}alkyl)amino; mono– or di(C_{1-6}alkyloxyC_{1-6}alkyl)amino; mono– or di(C_{1-6}alkylthioC_{1-6}alkyl)amino; aryl; morpholinylC_{1-6}alkyl or piperidinylC_{1-6}alkyl ; or

R^2 and R^3 may be taken together to form –R^2-R^3-, which represents a bivalent radical of formula –$(CH_2)_3$-, –$(CH_2)_4$-, –$(CH_2)_5$-, –$(CH_2)_6$-, –CH=CH-CH=CH-, –Z_4-CH=CH-, -CH=CH-Z_4-, –Z_4-CH_2-CH_2-CH_2-, -CH_2-Z_4-CH_2-CH_2-, -CH_2-CH_2-Z_4-CH_2-, -CH_2-CH_2-CH_2-Z_4-, -Z_4-CH_2-CH_2-, -CH_2-Z_4-CH_2- or -CH_2-CH_2-Z_4-, with Z_4 being O, S, SO_2 or NR^{11} wherein R^{11} is hydrogen, C_{1-6}alkyl, benzyl or C_{1-6}alkyloxycarbonyl; and wherein each bivalent radical is optionally substituted with C_{1-6}alkyl.

or R^3 and R^4 may be taken together to form a bivalent radical of formula -CH=CH-CH=CH- or –CH_2-CH_2-CH_2-CH_2- ;

R^5 represents hydrogen; cycloC_{3-12}alkyl; piperidinyl; oxo-thienyl; tetrahydrothienyl, arylC_{1-6}alkyl; C_{1-6}alkyloxyC_{1-6}alkyl; C_{1-6}alkyloxycarbonylC_{1-6}alkyl or C_{1-6}alkyl optionally substituted with a radical C(=O)NR_xR_y, in which R_x and R_y, each independently are hydrogen, cycloC_{3-12}alkyl, C_{2-6}alkynyl or C_{1-6}alkyl optionally substituted with cyano, C_{1-6}alkyloxy, C_{1-6}alkyloxycarbonyl, furanyl, pyrrolidinyl, benzylthio, pyridinyl, pyrrolyl or thienyl;

Y represents O or S;

or Y and R^5 may be taken together to form =Y-R^5- which represents a radical of formula

-CH=N-N= (c-1);

-N=N-N= (c-2); or

-N-CH=CH- (c-3);

aryl represents phenyl or naphthyl optionally substituted with one or more substituents selected from halo, hydroxy, C_{1-6}alkyl, C_{1-6}alkyloxy, phenyloxy, nitro, amino, thio, C_{1-6}alkylthio, haloC_{1-6}alkyl, polyhaloC_{1-6}alkyl, polyhaloC_{1-6}alkyloxy, hydroxyC_{1-6}alkyl, C_{1-6}alkyloxyC_{1-6}alkyl, aminoC_{1-6}alkyl, mono-or di(C_{1-6}alkyl)amino; mono-or di(C_{1-6}alkyl)aminoC_{1-6}alkyl, cyano, -CO-R^{12}, -CO-OR^{13},

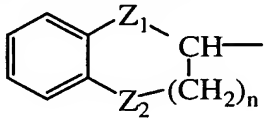
$-NR^{13}SO_2R^{12}$, $-SO_2-NR^{13}R^{14}$, $-NR^{13}C(O)R^{12}$, $-C(O)NR^{13}R^{14}$, $-SOR^{12}$, $-SO_2R^{12}$; wherein each R^{12}, R^{13} and R^{14} independently represent C_{1-6}alkyl; cycloC_{3-6}alkyl; phenyl; phenyl substituted with halo, hydroxy, C_{1-6}alkyl, C_{1-6}alkyloxy, haloC_{1-6}alkyl, polyhaloC_{1-6}alkyl, furanyl, thienyl, pyrrolyl, imidazolyl, thiazolyl or oxazolyl;

and when the R^1-C(=X) moiety is linked to another position than the 7 or 8 position, then said 7 and 8 position may be substituted with R^{15} and R^{16} wherein either one or both of R^{15} and R^{16} represents C_{1-6}alkyl, C_{1-6}alkyloxy or R^{15} and R^{16} taken together may form a bivalent radical of formula -CH=CH-CH=CH-.

2. A radiolabelled compound according to claim 1, characterized in that,

X represents O; $C(R^6)_2$ with R^6 being hydrogen or aryl ; or N-R^7 with R^7 being amino or hydroxy;

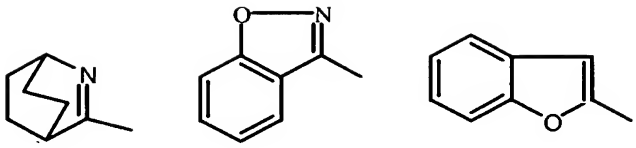
R^1 represents C_{1-6}alkyl, aryl; thienyl; quinolinyl; cycloC_{3-12}alkyl or (cycloC_{3-12}alkyl)C_{1-6}alkyl, wherein the cycloC_{3-12}alkyl moiety optionally may contain a double bond and wherein one carbon atom in the cycloC_{3-12}alkyl moiety may be replaced by an oxygen atom or an NR^8-moiety with R^8 being benzyl or C_{1-6}alkyloxycarbonyl ; wherein one or more hydrogen atoms in a C_{1-6}alkyl-moiety or in a cycloC_{3-12}alkyl-moiety optionally may be replaced by C_{1-6}alkyl, haloC_{1-6}alkyl, hydroxy, C_{1-6}alkyloxy, arylC_{1-6}alkyloxy, halo, aryl, mono– or di(C_{1-6}alkyl)amino, C_{1-6}alkyloxycarbonylamino, halo, piperazinyl, pyridinyl, morpholinyl, thienyl or a bivalent radical of formula –O- or -O-CH_2-CH_2-O-; or a radical of formula (a-1)



a-1

wherein Z_1 is a single covalent bond, O or CH_2;
Z_2 is a single covalent bond, O or CH_2;
n is an integer of 0, 1, or 2 ;
and wherein each hydrogen atom in the phenyl ring independently may optionally be replaced by halo or hydroxy;

or X and R^1 may be taken together with the carbon atom to which X and R^1 are attached to form a radical of formula (b-1), (b-2) or (b-3);



b-1 b-2 b-3

R^2 represents hydrogen; halo; cyano; C_{1-6}alkyl; C_{1-6}alkyloxy; C_{1-6}alkylthio; C_{1-6}alkylcarbonyl; C_{1-6}alkyloxycarbonyl; C_{2-6}alkenyl; hydroxyC_{2-6}alkenyl; C_{2-6}alkynyl; hydroxyC_{2-6}alkynyl; tri(C_{1-6}alkyl)silaneC_{2-6}alkynyl; amino; mono– or di(C_{1-6}alkyl)amino; mono– or di(C_{1-6}alkyloxyC_{1-6}alkyl)amino; mono– or di(C_{1-6}alkylthioC_{1-6}alkyl)amino; aryl; arylC_{1-6}alkyl; arylC_{2-6}alkynyl; C_{1-6}alkyloxyC_{1-6}alkylaminoC_{1-6}alkyl; aminocarbonyl optionally substituted with C_{1-6}alkyloxycarbonylC_{1-6}alkyl ; a heterocycle selected from thienyl, furanyl, thiazolyl and piperidinyl, optionally N-substituted with morpholinyl or thiomorpholinyl; a radical –NH-C(=O)R^9 wherein R^9 represents C_{1-6}alkyl optionally substituted with cycloC_{3-12}alkyl, C_{1-6}alkyloxy, C_{1-6}alkyloxycarbonyl, aryl, aryloxy, thienyl, pyridinyl, mono- or di(C_{1-6}alkyl)amino, C_{1-6}alkylthio, benzylthio, pyridinylthio or pyrimidinylthio; cycloC_{3-12}alkyl; cyclohexenyl; amino; arylcycloC_{3-12}alkylamino; mono-or-di(C_{1-6}alkyl)amino; mono- or di(C_{1-6}alkyloxycarbonylC_{1-6}alkyl)amino; mono- or di(C_{1-6}alkyloxycarbonyl)amino; mono-or di(C_{2-6}alkenyl)amino; mono- or di(arylC_{1-6}alkyl)amino; mono- or diarylamino; arylC_{2-6}alkenyl; furanylC_{2-6}alkenyl; piperididinyl; piperazinyl; indolyl; furyl; benzofuryl; tetrahydrofuryl; indenyl; adamantyl; pyridinyl; pyrazinyl; aryl or a radical of formula (a-1) ; a sulfonamid -NH-SO_2-R^{10} wherein R^{10} represents C_{1-6}alkyl, mono- or poly haloC_{1-6}alkyl, arylC_{1-6}alkyl or aryl;

R^3 and R^4 each independently represent hydrogen; C_{1-6}alkyl; C_{1-6}alkyloxyC_{1-6}alkyl; C_{1-6}alkyloxycarbonyl; or

R^2 and R^3 may be taken together to form –R^2-R^3-, which represents a bivalent radical of formula –$(CH_2)_4$-, –$(CH_2)_5$-, –Z_4-CH=CH-, –Z_4-CH_2-CH_2-CH_2- or -Z_4-CH_2-CH_2-, with Z_4 being O, S, SO_2 or NR^{11} wherein R^{11} is hydrogen, C_{1-6}alkyl, benzyl or C_{1-6}alkyloxycarbonyl; and wherein each bivalent radical is optionally substituted with C_{1-6}alkyl;

or R^3 and R^4 may be taken together to form a bivalent radical of formula -CH=CH-CH=CH- or –CH_2-CH_2-CH_2-CH_2- ;

R^5 represents hydrogen; piperidinyl; oxo-thienyl; tetrahydrothienyl, arylC_{1-6}alkyl; C_{1-6}alkyloxycarbonylC_{1-6}alkyl or C_{1-6}alkyl optionally substituted with a radical

$C(=O)NR_xR_y$, in which R_x and R_y, each independently are hydrogen, cycloC_{3-12}alkyl, C_{2-6}alkynyl or C_{1-6}alkyl optionally substituted with cyano, C_{1-6}alkyloxy or C_{1-6}alkyloxycarbonyl;

Y represents O or S;

or Y and R^5 may be taken together to form =Y-R^5- which represents a radical of formula

-CH=N-N= (c-1); or

-N=N-N= (c-2);

aryl represents phenyl or naphthyl optionally substituted with one or more substituents selected from halo, C_{1-6}alkyloxy, phenyloxy, mono-or di(C_{1-6}alkyl)amino and cyano;

and when the R^1-C(=X) moiety is linked to another position than the 7 or 8 position, then said 7 and 8 position may be substituted with R^{15} and R^{16} wherein either one or both of R^{15} and R^{16} represents C_{1-6}alkyl or R^{15} and R^{16} taken together may form a bivalent radical of formula -CH=CH-CH=CH-.

3. A radiolabelled compound according to any one of claims 1 - 2, characterized in that,

X represents O;

R^1 represents C_{1-6}alkyl; cycloC_{3-12}alkyl or (cycloC_{3-12}alkyl)C_{1-6}alkyl, wherein one or more hydrogen atoms in a C_{1-6}alkyl-moiety or in a cycloC_{3-12}alkyl-moiety optionally may be replaced by C_{1-6}alkyloxy, aryl, halo or thienyl;

R^2 represents hydrogen; halo; C_{1-6}alkyl or amino;

R^3 and R^4 each independently represent hydrogen or C_{1-6}alkyl; or

R^2 and R^3 may be taken together to form –R^2-R^3-, which represents a bivalent radical of formula –Z_4-CH_2-CH_2-CH_2- or -Z_4-CH_2-CH_2- with Z_4 being O or NR^{11} wherein R^{11} is C_{1-6}alkyl; and wherein each bivalent radical is optionally substituted with C_{1-6}alkyl;

or R^3 and R^4 may be taken together to form a bivalent radical of formula -CH_2-CH_2-CH_2-CH_2- ;

R^5 represents hydrogen;

Y represents O; and

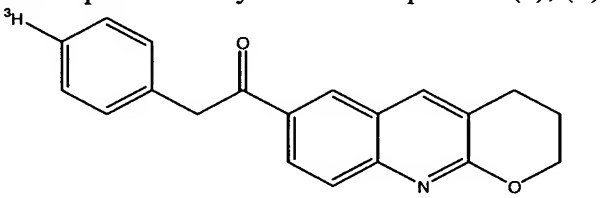
aryl represents phenyl optionally substituted with halo.

4. A radiolabelled compound according to any one of claims 1-3, characterized in that the R^1-C(=X) moiety is linked to the quinoline or quinolinone moiety in position 6.

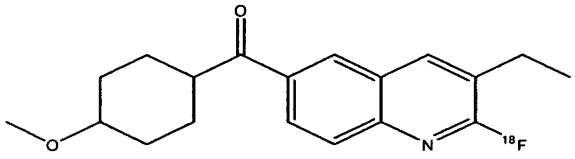
5. A radiolabelled compound according to any one of claims 1 - 4, characterized in that the compound contains at least one radioactive atom.

6. A radiolabelled compound according to claim 5, characterized in that the radioactive isotope is selected from the group of of ^{3}H, ^{11}C and ^{18}F.

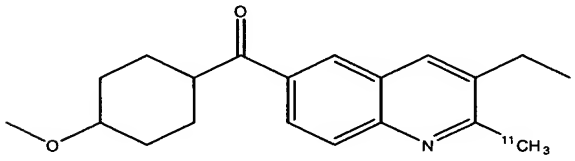
7. A radiolabelled compound according to claim 6, characterized in that the compound is any one of compounds (a), (b), (c), (d) and (e) :



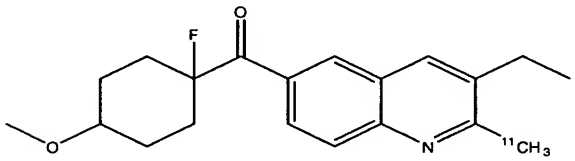
(a)



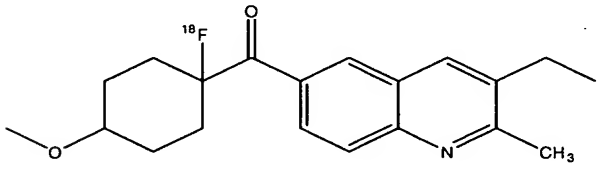
(b)



(c)



(d)



(e)

8. A radiolabelled compound according to claim 6, characterized in that the compound is compound (a).

9. Radioactive composition for the administration to mamals comprising a therapeutically effective amount of a radiolabelled compound according to any of claims 1-8 and a pharmaceutically acceptable carrier or diluent.

10. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for use in a diagnostic method.

11. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9, characterized in that the diagnostic method consists of marking or identifying a mGlu1 receptor in biological material.

12. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9, characterized in that the marking consists of administering the radiolabelled compound to biological material and the identifying consists of detecting the emissions from the radiolabelled compound.

13. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9, characterized in that the diagnostic method consists of screening whether a test compound has the ability to occupy or bind to a mGlu1 receptor in biological material.

14. A radiolabelled compound or composition according to any one of claims 11 - 13, characterized in that the biological material is selected from the group of tissue samples, plasma fluids, body fluids, body parts and organs originating from warm-blooded animals and warm-blooded animals *per se*, in particular humans.

15. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for the manufacture of a diagnostic tool for marking or identifying an mGlu1 receptor in biological material.

16. Use of a radiolabelled compound or composition according to claim 15, characterized in that the marking consists of administering the radiolabelled compound to biological material and the identifying consists of detecting the emissions from the radiolabelled compound.

17. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for the manufacture of a diagnostic tool for screening whether a test compound has the ability to occupy or bind to a mGlu1 receptor in biological material

18. A radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 for the manufacture of a diagnostic tool for imaging an organ, characterized by administering a sufficient amount of a radiolabelled compound according to any one of claims 1-8 or a composition according to claim 9 in an appropriate composition to biological material, whereby said radiolabelled compound binds to a mGlu1 receptor sites in the biological material ; and detecting the emissions from the radiolabelled compound.

19. Use of a radiolabelled compound or composition according to claim 18 for the manufacture of a diagnostic tool for imaging an organ, characterized in that the imaging is performed using Positron Emission Tomography (PET).

20. Use of a radiolabelled compound or composition according to any one of claims 15 to 19, characterized in that the biological material is selected from the group of tissue samples, plasma fluids, body fluids, body parts and organs originating from warm-blooded animals and warm-blooded animals *per se*, in particular humans.